Amendments to the Specification:

Additions to the specification are shown by double underscoring.

Please replace the paragraph on page 19, lines 14-16 with the following amended paragraph:

Figures 1-5 illustrate exemplary compounds of structural formula (I). Another exemplary compound of the invention is Ac-Pro-His-Ser-Cys (β, β-dimethyl)-Asn-NH_2 (SEQ ID NO: 1).

Please replace the paragraph on page 35, lines 3-20 with the following amended paragraph:

The rat syngeneic breast cancer system employs Mat BIII rat breast cancer cells (Xing *et al.*, *Int. J. Cancer* 1996, *67*:423-429). Tumor cells, for example, about 10^6 suspended in 0.1 mL PBS, are inoculated into the mammary fat pads of female Fisher rats. At the time of inoculation, a 14-day Alza osmotic mini-pump is implanted intraperitoneally to dispense the test compound. The compound is dissolved in PBS (e.g., 200 mM stock), sterile filtered and placed in the minipump to achieve a release rate of about 4 mg/kg/day. Control animals receive vehicle (PBS) alone or a vehicle control peptide in the minipump. Animals are sacrificed at about day 14. In the rats treated with the compounds of the present invention, significant reductions in the size of the primary tumor and in the number of metastases in the spleen, lungs, liver, kidney and lymph nodes (enumerated as discrete foci) may be observed. Histological and immunohistochemical analysis reveal increased necrosis and signs of apoptosis in tumors in treated animals. Large necrotic areas are seen in tumor regions lacking neovascularization. Human or rabbit PHSCN (SEQ ID NO: 2) and their derivatives to which ^{131}I is conjugated (either 1 or 2 I atoms per molecule of peptide) are effective radiotherapeutics and are found to be at least two-fold more potent than the unconjugated polypeptides. In contrast, treatment with control peptides fails to cause a significant change in tumor size or metastasis.

Please replace the paragraph on page 60, lines 1-13 with the following amended paragraph:

6.10 Example 10: Acetyl-Pro-His-Ser-Cys(benzyl)-Asn-NH_2 (SEQ ID NO: 3)

This compound was prepared according to procedures of Examples 1 and 2 giving the title compound (74 mg, 97 %) as a fine white powder. The NMR data indicated a mixture of two species in a ratio of about 80:20: ^1H NMR (300 MHz, DMSO-d_6) δ 8.96, 8.95 (d, d, 1 H, J =1.2 Hz), 7.90-8.45 (m, 4 H), 7.23-7.39 (m, 7 H), 7.13 (s, 1 H), 7.01 (s, 1 H), 6.91 (s, 1 H), 5.08 (bs, 1 H), 4.60-4.80 (m, 1 H), 4.25-4.53 (m, 5 H), 3.77 (s, 2 H), 3.64-3.66 (m, 2 H), 3.15-3.20 (m, 1

H), 2.93- 3.01 (m, 1 H), 2.78-~~2,84~~ 2.84 (dd, 1 H, J = 5.4, 14.1 Hz), 2.56-2.67 (m, 1 H), 2.38-2.49 (dd, 1 H, J = 7.5, 15.6 Hz), 2.00 (s, 3 H), 1.84 (m, 3 H); ^{13}C NMR (75 MHz, DMSO-d_6) δ 172.57, 171.8, 171.5, 170.2, 169.7, 169.6, 169.2, 138.2, 133.6, 129.4, 128.9, 128.3, 126.8, 117.0, 61.6, 59.3, 55.2, 52.7, 51.2, 49.8, 47.8, 36.8, 35.3, 32.8, 29.3, 24.3, 22.2, 21.8; MS *m/z* $(C_{30}H_{41}N_9O_8S+H)^+$ 688.8; Anal. Calcd for $C_{30}H_{41}N_9O_8S$: N, 18.33. Found: N, 14.52 (peptide content: 79%).

Please replace the paragraph on page 60, lines 15-27 with the following amended paragraph:

6.11 Example 11: Acetyl-Pro-His-Ser-Cys(4-methyl-benzyl)-Asn-NH_2 (SEQ ID NO: 4)

This compound was prepared according to the procedure of Examples 1 and 2 giving the title compound (87 mg, 99 %) as a fine white powder. The NMR data indicated a mixture of two species in a ratio of about 80:20: ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.96 (m, 1H), 7.90-8.45 (m, 4H), 6.91-7.09 (m, 7H), 7.00 (s, 1H), 6.91 (s, 1H), 5.10 (bs, 1H), 4.60-4.75 (m, 1H), 4.46-4.50 (m, 2H), 4.27-4.40 (m, 2H), 3.72 (s, 2H), 3.64 (m, 2H), 3.50 (m, 2H), 3.15-3.21 (m, 1H), 2.93-3.02 (m, 1H), 2.76-2.82 (m, 1H), 2.56-2.65 (m, 1H), 2.37- 2.45 (m, 1H), 2.62 (s, 3H), 1.99 (s, 3H), 1.73-1.86 (m, 3H); ^{13}C NMR (75 MHz, DMSO-d_6) δ 172.5, 171.8, 171.5, 170.1, 169.6, 169.5, 169.1, 135.8, 135.0, 133.6, 129.3, 128.8, 128.7, 116.8, 61.6, 59.2, 55.1, 52.6, 51.2, 49.7, 47.7, 36.8, 34.9, 32.7, 29.2, 24.2, 22.1, 21.8, 20.6; MS *m/z* $(C_{31}H_{43}N_9O_8S+H)^+$ 703.0; Anal. Calcd for $C_{31}H_{43}N_9O_8S$: N, 17.96. Found: N, 14.21 (peptide content: 79%).

Please replace the paragraph on page 60, line 29 to page 61, line 6 with the following amended paragraph:

6.12 Example 12: Acetyl-Pro-His-Ser-Met(O)-Asn-NH_2 (SEQ ID NO: 5)

This compound was prepared according to the procedures of Examples 1 and 2 to provide the title compound (30 mg, 29 %) as a fine white powder. The NMR data indicated a mixture of two species in a ratio of about 80:20: ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.97 (s, 1H), 7.95-8.44 (m, 5H), 7.40 (s, 1H), 7.35 (s, 1H), 7.09 (s, 2H), 6.91 (s, 1H), 4.59-4.77 (m, 1H), 4.25-4.49 (m, 4H), 3.45-3.54 (m, 1H), 3.26-3.37 (m, 1H), 3.14-3.21 (m, 1H), 2.95-3.03 (m, 1H), 2.64-2.83 (m, 2H), 2.52 (m, 3H), 2.38-2.45 (m, 1H), 2.00 (s, 3H), 1.84-2.06 (m, 2H), 1.68-1.83 (m, 4H); ^{13}C NMR (75 MHz, DMSO-d_6) δ 172.7, 171.8, 171.5, 170.3, 170.1, 169.7, 169.2, 133.6, 129.3, 116.9, 61.4, 59.3, 55.1, 52.0, 51.2, 49.7, 49.0, 47.8, 37.8, 36.8, 29.3, 26.4, 24.9, 24.3, 22.3; MS

m/z ($C_{25}H_{39}N_9O_9S+H)^+$ 642.9; Anal. Calcd for $C_{25}H_{39}N_9O_9S$: N, 19.64. Found: N, 14.79 (peptide content: 75%).

Please replace the paragraph on page 61, lines 9-19 with the following amended paragraph:

6.13 Example 13: Acetyl-Pro-His-Ser-Met(O_2)-Asn-NH_2 (SEQ ID NO: 6)

This compound was prepared according to the procedure of Examples 1 and 2 to give the title compound (92.5 mg, 88%) as a fine white powder. The NMR data indicated a mixture of two species in a ratio of about 80:20: ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.68 (d, 1 H, *J* = 1.4 Hz), 7.38 (m, 1H), 4.73-4.86 (m, 2H), 4.65 (dd, 1H, *J* = 5.3, 3.5 Hz), 4.50 (t, 1H, *J* = 5.4 Hz), 4.41 (dd, 1H, *J* = 5.1, 3.6 Hz), 3.93 (t, 2H, *J* =5.7 Hz), 3.68 (t, 2H, *J*= 7.0 Hz), 3.37-3.42 (m, 3H), 3.21-3.29 (m, 1H), 3.18 (s, 3H), 2.75-2.94 (m, 2H), 2.42-2.47 (m, 1H), 2.24-2.35 (m, 2H), 2.17 (s, 3H), 1.86-2.04 (m, 4H); ^{13}C NMR (75 MHz, DMSO-d_6) δ 174.2, 174.1, 173.9, 172.7, 171.3, 171.2, 171.1, 132.9, 127.9, 116.7, 60.4, 59.6, 55.1, 51.6, 51.4, 49.8, 49.5, 48.2, 39.2, 35.7, 29.3, 25.5, 23.7, 23.1, 20.9; MS *m/z* ($C_{25}H_{39}N_9O_{10}S+H)^+$ 658.7; Anal. calcd for $C_{25}H_{39}N_9O_{10}S$: N, 19.17. Found: N, 14.80 (peptide content, 77%).

Please replace the paragraph on page 62, lines 6-15 with the following amended paragraph:

6.16 Example 16: Acetyl-Pro-His-Ser-Cys(methyl)-NH_2 (SEQ ID NO: 7)

This compound was prepared according to the procedures of Examples 1 and 2 giving the title compounds (106.1 mg, 43 %) as a fine white powder: ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.96-8.97 (m, 1H), 7.85-8.46 (m, 3H), 7.34-7.41 (m, 2H), 7.24 (s, 1H), 4.59-4.78 (m, 1H), 4.25-4.42 (m, 3H)3.64-3.69 (m, 1H), 3.15-3.21 (m, 1H), 2.93-3.02 (m, 1H), 2.85 (dd, 1H, *J* = 5.0, 13.7 Hz), 2.65-2.72 (m, 1H), 2.07 (s, 3H), 2.00 (s, 3H), 1.67-1.85 (m, 4H); ^{13}C NMR (75 MHz, DMSO-d_6) δ 171.9, 171.8, 169.8, 169.7, 169.1, 129.3, 116.6, 61.6, 60.2, 59.2, 55.0, 52.3, 51.2, 47.7, 38.6, 35.4, 29.3, 24.3, 22.3, 15.2; MS *m/z* ($C_{20}H_{31}N_7O_6S+H)^+$ 498.6; Anal. calcd for $C_{20}H_{31}N_7O_6S$: N, 19.71. Found: N, 14.79 (peptide content: 75%).

Please replace the paragraph on page 62, line 27 to page 63, line 5 with the following amended paragraph:

6.18 Example 18: Acetyl-Pro-His-Ser-Cys(4-MeO-Phenyl)-Asn-NH_2 (SEQ ID NO: 8)

This compound was prepared according to the procedure of Examples 1 and 2 except with the following modification: the monomer Fmoc-Cys(4-MeO-phenyl) was synthesized from Fmoc-Cys(4-MeO-Benzyl)-OH in 2 steps. The title compound was isolated as a fine white powder (28.0 mg, 50%): ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.90-8.92 (m, 1H), 8.42-8.51 (m, 1H), 8.30 (d, 1H, J = 1 Hz), 8.09 (d, 1H, J = 1H), 7.88 (m, 1H), 7.33-7.39 (m, 4H), 712 (s, 1H), 6.98 (s, 1H), 6.90 (m, 2H), 6.51 (bs, 1H), 5.04-5.19 (m, 1H), 4.58-4.82 (m, 2H), 4.23-4.47 (m, 4H), 3.75 (s, 3H), 3.59-3.71 (m, 2H), 2.95-3.03 (m, 2H), 2.36-2.44 (m, 1H), 2.00 (s, 3H), 1.67-1.95 (m, 4H); ^{13}C NMR (75 MHz, DMSO-d_6) δ 172.5, 171.9, 171.6, 170.3, 169.8, 169.4, 169.1, 158.7, 133.1, 129.5, 125.0, 116.9, 114.8, 61.6, 59.3, 55.2, 52.6, 51.3, 49.8, 47.8, 36.9, 36.7, 29.3, 26.5, 24.3, 22.2; MS *m/z* $(C_{30}H_{41}N_9O_9S+H)^+$ 704.8; Anal. calcd for $C_{30}H_{41}N_9O_9S$: N, 17.91. Found: N, 13.11 (peptide content: 73%).

Please replace the paragraph on page 63, lines 17-30 with the following amended paragraph:

6.20 Example 20: Ac-Pro-His-Ser-Cys(*p*MeOBzl)-Asn-NH_2 (SEQ ID NO: 9)

This compound was prepared according to the procedure of Examples 1 and 2 and afforded 79.1 mg (46%) of the title compound as a white powder and as a mixture of two compounds in a ratio of 67:33: ^{1}H NMR (300 MHz, ~~DMSO-d6~~ DMSO-d_6) δ 8.97 (s, 1H), 8.46-8.19 (m, 3H), 8.00-7.90 (m, 1H), 7.39 (s, 1H), 7.35 (s, 1H), 7.25-7.21 (m, 2H), 7.13 (s, 1H), 7.01 (s, 1H), 6.91 (s, 1H), 6.87-6.82 (m, 2H), 4.79-4.25 (m, 17H, overlapping with water peak), 3.72-3.58 (m, 7H), 3.57-3.46 (m, 2H), 3.40-3.24 (m, 1H), 3.23-3.13 (m, 1H), 3.05-2.94 (m, 1H), 2.84-2.75 (m, 1H), 2.66-2.37 (m, 2H, overlapping with DMSO peak), 2.00 (s, 3H), 1.88-1.65 (m, 4H); ^{13}C NMR (75 MHz, DMSO-d_6) δ 172.5, 172.0, 171.8, 171.5, 170.1, 169.7, 169.64, 169.61, 169.56, 169.1, 168.5, 158.3, 158.1, 157.8, 133.8, 133.6, 130.0, 129.9, 129.4, 129.3, 116.9, 113.7, 61.6, 59.2, 55.1, 54.9, 52.6, 51.2, 49.7, 47.7, 36.8, 34.6, 32.7, 31.5, 29.2, 26.4, 24.3, 22.24, 22.17, 21.8; ES MS *m/z* $(M+H)^+$ 718.8. Anal. Calcd for $C_{31}H_{43}N_9O_9S$: N, 17.56. Found: N, 13.00 (peptide content: 74%).

Please replace the paragraph on page 64, lines 1-16 with the following amended paragraph:

6.21 Example 21: Ac-Pro-His-Ser-Cys(Ph)-Asn-NH_2 (SEQ ID NO: 10)

This compound was prepared according to the procedures of Examples 1 and 2 with the exception that the coupling of Fmoc-Cys(Ph)-OH to the resin bound tritylated asparagine was performed using half the equivalents of the reagents given in Example 1. The title compound (36.9 mg, 29%) was isolated as a white powder and as a mixture of two compounds in a ratio of 65:35: ^{1}H NMR (300 MHz, DMSO-d_6) δ 8.96 (s, 1H), 8.55-8.42 (m, 1H), 8.31 (d, J = 8.3 Hz, 1H), 8.17 (d, J = 7.9 Hz, 1H), 7.98-7.81 (m, 1H), 7.40-7.28 (m, 6H), 7.24-7.17 (m, 1H), 7.12 (s, 1H), 7.00 (s, 1H), 6.91 (s, 1H), 4.79-4.60 (m, 2H), 4.48-4.25 (m, 6H, overlapping with water-peak), 3.71-3.46 (m, 4H), 3.42-3.28 (m, 2H), 3.23-3.08 (m, 2H), 3.05-2.93 (m, 1H), 2.56-2.36 (m, 2H, overlapping with DMSO peak), 2.00 (s, 3H), 1.89-1.65 (m, 4H); ^{13}C NMR (75 MHz, DMSO-d6) δ 172.4, 172.0, 171.8, 171.5, 170.3, 169.74, 169.66, 169.2, 169.15, 169.08, 168.5, 158.1, 157.7, 135.5, 133.8, 133.5, 129.4, 129.3, 129.0, 128.6, 126.0, 116.8, 61.5, 60.2, 59.2, 55.1, 54.8, 52.5, 51.24, 51.17, 49.7, 47.7, 36.7, 34.6, 31.5, 29.2, 26.8, 26.4, 24.2, 22.23, 22.16, 21.7; ES MS *m/z* $(M+H)^+$ 674.7. Anal. Calcd for $C_{29}H_{39}N_9O_8S$: N, 18.71. Found: N, 13.52 (peptide content: 72%).

Please replace the paragraph on page 64, lines 18-29 with the following amended paragraph:

6.22 Example 22: Acetyl-Pro-His-Ser-Cys(S-tBu)-Asn-NH_2 (SEQ ID NO: 11)

This compound was prepared according to the procedure of Examples 1 and 3 giving the title compound as a fine white powder. The NMR data indicated a mixture of two species in approximately 2:1 ratio: ^{1}H NMR (300 MHz, MeOD-d_4) major conformer δ 8.77 (d, 1 H, J = 1.4), 7.41 (br, 1H), 4.77-4.57 (m, 3H), 4.46-4.36 (m, 2H), 3.95-3.80 (m, 2H), 3.70-3.57 (m, 2H), 3.39-3.14 (m, 2H), 3.07-2.97 (m, 1H), 2.79-2.65 (m, 3H), 2.26-1.86 (m, 4H), 2.21 (s, 3H), 1.35 (s, 9H) for the minor conformer 8.78 (d, J = 1.4), 1.34 (s, 9) ; ^{13}C NMR (75 MHz, MeOD-d_6) δ 175.0, 173.3,172.7 (2 C), 172.2, 172.0 (2 C), 135.0, 130.8, 119.1, 62.9, 61.6, 57.5, 57.2, 53.5, 51.7, 42.3, 42.2, 37.7 (2 C), 31.1, 30.4 (3 C), 28.0, 26.0, 22.5 ; MS *m/z* $(C_{27}H_{43}N_9O_8S_2+H)^+$ 686.8; Anal, calcd for $C_{27}H_{43}N_9O_8S_2$: N, 18.38. Found: N, 13.87 (peptide content: 76%).

Please replace the paragraph on page 64, line 30 to page 65, line 9 with the following amended paragraph:

6.23 Example 23: Acetyl Pro-His-Ser-Cys(tBu)-Asn-NH_2 (SEQ ID NO: 12)

This compound was prepared according to the procedure of Examples 1 and 3 giving the title compound (18.5 mg, 23%) as a fine white powder. The NMR data indicated a mixture of two species: ^{1}H NMR (300 MHz, MeOD-d_4) major conformer δ 8.78 (br s, 1H), 7.42 (br s, 1H), 4.75-4.71 (m, 1H), 4.54-4.34 (m, 4), 3.90 (dd, 1H, J = 11, 6), 3.82 (dd, 1 H, J = 11.6), 3.71-3.57 (m, 2H), 3.36 (dd, 1 H, J = 15, 5), 3.17 (dd, 1H, J = 15, 5), 3.05 (dd, 1H, J = 11, 6), 2.93 (dd, 1H, J = 11, 6), 2.81 (m, 2H), 2.25-1.86 (m, 4H), 2.11 (s, 3H), 1.33 (s, 9H), data for the minor conformer 2.01 (s, 3H), 1.30 (s, 9H); ^{13}C NMR (75 MHz, MeOD-d_6) δ 175.5, 175.3, 175.0, 173.0, 172.7, 172.4, 172.0, 135.0, 62.9, 61.6, 57.1, 55.8, 53.5, 51.6, 49.8, 43.8, 37.7, 31.3 (3 C), 30.8, 27.9, 26.0, 24.3, 22.5; MS *m/z* $(C_{27}H_{43}N_9O_8S+H)^+$ 654.7; Anal, calcd for $C_{27}H_{43}N_9O_8S$: N, 19.28. Found: N, 16.25 (peptide content: 73%).

Please replace the paragraph on page 65, lines 23-33 with the following amended paragraph:

6.25 Example 25: Ac-His-His-Cys(SMe)-Asn-NH_2 (SEQ ID NO: 13)

This compound was prepared according to the procedure of Examples 2 and 4 starting from Fmoc-Asn-AM resin (200mg, 0.41mmol/g), to give 23 mg (40.8 μ mole, 49.7 %) of the final product as a fine white powder: ^{1}H NMR (300 MHz, D_2O) δ 8.68-8.67 (m, 1H), 7.32 (s, 2H), 4.78-4.72 (m, 2H), 4.70-4.65 (dd, J = 8.82, 5.91 Hz,lH), 4.60-4.55 (dd, J = 7.92, 6.18 Hz, 1H), 3.36-3.19 (m, 2H), 3.16-3.11 (m, 1H), 3.04-2.99 (m, 2H), 2.97-2.77 (m, 2H), 2.17 (d, 3H), 2.00 (s, 3H); ^{13}C NMR (75 MHz, D_2O) δ 176.0, 175.7, 175.2, 173.1, 173.0, 172.5, 134.8, 134.7, 129.7, 129.5, 118.6, 118.4, 53.9, 53.6, 53.5, 51.6, 37.4, 35.9, 27.5, 27.4, 22.8, 15.9; MS *m/z* $(C_{22}H_{32}N_{10}O_6S+H)^+$ 565; Anal, calcd for $C_{22}H_{32}N_{10}O_6S$: N, 24.81. Found: N, 14.74 (peptide content: 59.4%).

Please replace the paragraph on page 66, lines 1-11 with the following amended paragraph:

6.26 Example 26: Ac-His-Ser-Cys(SMe)-Asn-NH_2 (SEQ ID NO: 14)

This compound was prepared according to the procedure of Examples 2 and 4 from Fmoc-Asn-AM resin (200mg, 0.41mmol/g), giving 14.1 mg (27.4 μ mole, 33.4 %) of the final product as a fine white powder: ^{1}H NMR (300 MHz, D_2O) δ 8.67 (d, J = 1.32 Hz, 1H), 7.36 (s, 1H), 4.78-4.72 (m, 2H), 4.64-4.60 (dd, J = 7.71, 6.03 Hz, 1H), 4.55 (t, J = 5.49 Hz, 1H), 3.98-3.85 (m, 2H), 3.34 (dd, J = 15.51, 5.97 Hz, 1H), 3.19 (dd, J = 15.57, 8.37 Hz, 1H), 3.04 (dd, J = 13.98, 6.00 Hz, 1H), 2.96-2.75 (m, 2H) 2.18 (s, 3H), 2.05 (s, 3H) ^{13}CNMR (75 MHz,

D_2O) δ 176.0, 175.7, 175.4, 173.2 (2C), 173.0, 134.8, 129.7, 118.5, 62.3, 56.6, 54.2, 53.7, 51.6, 37.5, 35.8, 27.7, 23.0, 16.0; MS *m/z* $(C_{19}H_{30}N_8O_7S+H)^+$ 515; Anal, calcd for $C_{19}H_{30}N_8O_7S$: N, 21.78. Found: N, 14.53 (peptide content: 66.7 %).

Please replace the paragraph on page 67, lines 1-16 with the following amended paragraph:

6.29 Example 29: Ac-Pro-His-Ser-Cys(SO_2Bn)-Asn-NH_2 (SEQ ID NO: 15)

10 mg of the compound of Example 11 (14.5 μmole) was dissolved in 2mL of formic acid (96%), 0.4 mL of H_2O_2 (30% in H_2O) was added at room temperature and the mixture was stirred overnight. The solvent were removed *in vacuo* and the resulting white solid was purified according to the procedure of Example 2 to give 7.1 mg (9.87 μmole, 68%) of the desired sulfone WHY-37 as a fine white powder. The NMR data indicated a mixture of two species in a ratio of about 5:1 : ^{1}H NMR (300 MHz, D_2O) δ 8.66 (d, J = 1.35 Hz, 1H, minor), 8.62 (d, J = 1.38 Hz, 1H, major), 7.55-7.50 (m, 4H), 7.38 (s, 1H, minor), 7.34 (s, 1H, major), 5.12-5.07 (dd, J = 8.52, 4.41 Hz, 1H), 4.90-4.83(m, 1H), 4.77-4.72 (m, 1H), 4.67 (s, 2H), 4.52 (t, J = 5.49 Hz, 1H), 4.41-4.37 (dd, J = 8.82, 5.13 Hz, 1H), 3.76-3.64 (m, 3H), 3.76-3.64 (m, 3H), 3.40-3.17 (m, 2H), 2.93-2.74 (m, 2H), 2.31-2.23 (m, 1H), 2.15 (s, 3H), 2.05-1.84 (m, 4H); ^{13}C NMR (75 MHz, D_2O) δ 175.9, 175.7, 174.5, 172.8, 172.7, 170.9, 164.5, 134.7, 132.5, 130.8, 130.4, 129.7, 127.5, 118.5, 62.2, 61.4, 61.0, 56.7, 53.4, 52.7, 51.8, 50.0, 49.3, 37.4, 31.1, 27.4, 25.6, 22.7; MS *m/z* $C_{30}H_{41}N_9O_{10}S$ +H)$^+$ 720; Anal, calcd for $C_{30}H_{41}N_9O_{10}S$: N, 17.51. Found: N, 10.72 (peptide content: 61.2%).

Please replace the paragraph on page 67, lines 18-32 with the following amended paragraph:

6.30 Example 30: Ac-Pro-His-Ser-HoCys(SO_2Ph)-Asn-NH_2 (SEQ ID NO: 16)

This compound was prepared according to the procedure of Example 29 starting from 10mg(14.5 μmole) of the sulfide precursor, giving 3.3 mg (4.58 μmole, 31.6%) of the desired sulfone as a fine white powder. The NMR data indicated a mixture of two species in a ratio of about 6:1 : ^{1}H NMR (300 MHz, D_2O) δ 8.71 (d, J = 1.41 Hz, 1H, minor), 8.68 (d, J = 1.41 Hz, 1H, major), 8.02-7.99 (m, 2H), 7.90-7.85 (m, 1H), 7.78-7.73 (m, 2H), 7.40 (bs, 1H, minor), 7.37 (bs, 1H, major), 4.87-4.82 (m, 1H), 4.73-4.68(m, 1H), 4.60-4.55 (dd, J = 8.85, 5.10 Hz, 1H), 4.48-4.44 (m, 1H), 4.40-4.35 (dd, J = 8.70, 5.16 Hz, 1H), 3.96-3.85(m, 2H), 3.69 (t, J = 6.66 Hz, 2H), 3.51 (t, J = 7.38 Hz, 2H), 3.41-3.34 (dd, J = 15.45, 5.55 Hz, 1H), 3.27-3.19 (dd, J = 15.69, 8.70 Hz, 1H), 2.90-2.83 (dd, J = 15.6, 5.49 Hz, 1H), 2.80-2.72 (dd, J = 15.6, 8.28 Hz, 1H), 2.34-2.25 (m, 2H), 2.16 (s, 3H), 2.13-2.11 (m, 1H), 2.08-1.82 (m, 4H); ^{13}C NMR (75 MHz, D_2O) δ 175.9, 175.7, 174.5, 172.8, 172.7, 170.9, 164.5, 134.7, 132.5, 130.8, 130.4, 129.7,

127.5, 118.5, 62.2, 61.4, 61.0, 56.7, 53.4, 52.7, 51.8, 50.0, 49.3, 37.4, 31.1, 27.4, 25.6, 22.7; MS *m/z* $(C_{30}H_{41}N_9O_{10}S+H)^+$ 720.

Please replace the paragraph on page 68, lines 1-14 with the following amended paragraph:

6.31 Example 31: Ac-Pro-His-Ser-HoCys(SOBn)Asn-NH_2 (SEQ ID NO: 17)

10 mg of the compound of Example 11 (14.5 μmole) was dissolved in 1mL of acetonitrile and 0.5 mL of Milli Q water. 2.5 mg of $NaBO_3{}^{\bullet}4H_2O$ was added to the solution at room temperature and it was stirred over night. The reaction mixture was purified according to the procedure of Example 2 to give 3.6 mg (5.11 μmole, 35.2 %) of the desired sulfoxide. The NMR data indicated a mixture of two species in a ratio of about 4:1 : ^{1}H NMR (300 MHz, D_2O) δ 8.65 (d, J = 6.21 Hz, 1H, minor), 8.62 (d, J = 6.21 Hz, 1H, major), 7.52-7.43 (m, 4H), 7.36 (s, 1H, minor), 7.34 (s, 1H, major), 4.95-4.84(m, 1H), 4.76-4.72 (m, 1H), 4.53-4.49 (m, 1H), 4.43-4.36 (s, 1H), 4.27-4.21 (dd, J = 13.2, 5.88 Hz, 1H), 4.00-3.88 (m, 2H), 3.67 (m, 3H), 3.37-3.17 (m, 4H), 2.92-2.74 (m, 2H), 2.33-2.24 (m, 1H), 2.16 (s, 3H), 2.05-1.83 (m, 4H); ^{13}C NMR (75 MHz, D_2O) δ 175.9 (2C), 175.7, 174.5, 172.9, 172.9, 171.8, 134.7, 131.8, 130.4, 130.2, 129.7, 118.6, 118.5, 62.2, 61.4, 57.9, 56.7, 53.4, 51.7, 50.0, 37.5, 31.1, 27.4, 25.6, 22.7; MS *m/z* $(C_{30}H_{41}N_9O_9S+H)^+$ 704.

Please replace the paragraph on page 68, lines 17-30 with the following amended paragraph:

6.32 Example 32: Ac-Pro-His-Ser-HoCys(SOBn)-Asn-NH_2 (SEQ ID NO: 17)

10 mg of the sulfide (14.5 μmole) was dissolved in 2mL of acetonitrile/water (3:5). Aqueous $NaIO_4$ solution (6.2 mg/100(μL) was added and the mixture was stirred for 24 hours at room temperature. The reaction mixture was concentrated to *ca.* 1 mL and purified according to the procedure of Example 2 to give 5.7 (8.10 μmole, 55.9%) of the desired sulfoxide. The NMR data indicated a mixture of two species in a ratio of about 6:1 : ^{1}H NMR (300 MHz, D_2O) δ 8.69 (bs, 1H, minor), 8.66 (m, 1H, major), 7.75-7.68 (m, 5H), 7.36 (bs, 1H, minor), 7.34 (bs, 1H, major), 4.86-4.82 (m, 1H), 4.74-4.68 (m, 1H), 4.61-4.46 (m, 2H), 4.36-4.34 (m, 1H), 3.93-3.86 (m, 2H), 3.67 (t, J = 6.69 Hz, 2H), 3.38-3.31 (dd, J = 15.33, 5.61 Hz, 4H), 3.24-3.13 (m, 3H), 2.91-2.71 (m, 2H), 2.33-2.24 (m, 2H), 2.16 (s, 3H), 2.13-1.83 (m, 5H); ^{13}C NMR (75 MHz, D_2O) δ 175.9, 175.8, 174.4, 172.9, 172.8 (2C), 137.7, 136.1, 134.7, 130.9 (2C), 129.7, 129.0 (2C), 118.4, 62.1, 61.3, 56.8, 53.3, 53.0, 52.6, 51.4, 49.9, 37.4, 31.0, 25.5, 25.4, 22.6; MS *m/z* $(C_{30}H_{41}N_9O_9S+H)^+$ 704.

Please replace the paragraph on page 68, line 31 to page 69, line 10 with the following amended paragraph:

6.33 Example 33: Ac-PHSC(Bz)N-NH_2 (SEQ ID NO: 18)

This compound was prepared from Ac-PHSCN-NH_2 (SEQ ID NO: 19) (50 mg, 0.083 mmol) and benzoyl chloride (8.6 μL, 0.075 mmol) according to the method of Examples 2 and 5, except DMF was replaced by acetonitrile and the second equivalent of benzoyl chloride and NMM were added after the first hour. Yield: 18.7 mg (31.7%). ^{1}H NMR (300 MHz, D_2O) δ 1.81-1.892 (m, 4H), 2.13 (s, 3H), 2.27 (m, 1H), 2.83 (m, 2H), 3.15 (m, 2H), 3.49-3.72 (m, 4H), 3.89 (m, 2H), 4.35 (dd, J = 8.5 Hz, J = 5.6 Hz, 1H), 4.51 (m, 1H), 7.27 and 7.28 (s, s, 1H), 7.56 (t, J = 7.5 Hz, 2H), 7.72 (t, J = 7.5 Hz, 1H), 7.99 (d, J = 7.5 Hz, 2H), 8.61-8.64 (m, 1H); ^{13}C NMR (75 MHz, D_2O) δ 22.5, 25.4, 27.2, 30.8, 30.9, 37.3, 49.8, 51.4, 53.1, 54.3, 56.7, 61.2, 62.0, 118.3, 128.3 (2C), 129.5, 130.1 (2C), 134.5, 135.6, 137.0, 172.2, 172.6, 172.9, 174.3, 175.5, 175.6, 175.7, 195.5; ES MS*m/z*$(M+H)^+$-calcd-702, obsd 702. Anal. Calc for $C_{30}H_{39}N_9O_9S$: N, 17.96. Found: N, 12.91 (peptide content: 71.8%).

Please replace the paragraph on page 69, lines 12-21 with the following amended paragraph:

6.34 Example 34: Ac-PHSC(phenylthio)acetyl)N-NH_2 (SEQ ID NO: 20)

This compound was prepared from Ac-PHSCN-NH_2 (SEQ ID NO: 19) (25 mg, 0.042 mmol) and (phenylthio)acetyl chloride (12.0 μL, 0.084 mmol) according to the procedure of Examples 2 and 5. Yield: 16.1 mg (51.2%). ^{1}H NMR (300 MHz, D_2O) δ 1.93 (m, 4H), 2.15 (s, 3H), 2.23 (m, 1H), 2.76 (m, 2H), 3.23-3.48 (m, 4H), 3.65 (m, 2H), 3.86 (m, 2H), 4.03 (s, 2H), 4.42 (m, 2H), 4.57 (m, 1H), 4.70 (m, 1H), 7.28-7.41 (m, 6H), 8.72-9.0 (m, 1H); ^{13}C NMR (75 MHz, D_2O) δ 22.5, 25.8, 27.5, 31.0, 31.2, 37.7,45.3, 49.8, 51.6, 53.4, 54.7, 57.0, 61.5, 62.6, 118.7, 128.3, 130.4 (2C), 130.5, 130.6 (2C), 134.7, 135.7, 171.7, 172.3, 172.8, 173.4, 175.2 (2C), 175.4, 199.1; ES MS *m/z* $(M+H)^+$ calcd 748, obsd 748.

Please replace the paragraph on page 69, lines 23-31 with the following amended paragraph:

6.35 Example 35: Ac-PHSC(Alloc)N-NH_2 (SEQ ID NO: 21)

This compound was prepared from Ac-PHSCN-NH_2 (SEQ ID NO: 19) (25 mg, 0.042 mmol) and allyl chloroformate (4.2 μL, 0.050 mmol) according to procedure of Examples 2 and 8. Yield: 4.3 mg (15.0%). ^{1}H NMR (300 MHz, D_2O) δ 1.85-1.93 (m, 4H), 2.16 (s, 3H), 2.28 (m, 1H), 2.82 (m, 2H), 3.21-3.53 (m, 4H), 3.68 (m, 2H), 3.91 (m, 2H), 4.41 (m, 1H), 4.51 (m, 1H), 5.37 (m, 2H), 6.00 (m, 1H), 7.38 and 7.41 (s, s, 1H), 8.68-8.71 (m, 1H); ^{13}C NMR (75 MHz,

D_2O) δ 21.4, 24.3, 26.1, 29.8, 31.6, 36.3, 48.7, 50.3, 52.1, 53.2, 55.4, 60.0, 61.0, 68.9, 117.2, 119.2, 128.4, 131.2, 133.5, 170.9, 171.5, 171.64, 171.68, 173.2, 174.4, 174.5; ES MS *m/z* $(M+H)^+$ calcd 682, obsd 682.

Please replace the paragraph on page 70, lines 1-10 with the following amended paragraph:

6.36 Example 36: Ac-PHSC(Piv)N-NH_2 (SEQ ID NO: 22)

This compound was prepared from Ac-PHSCN-NH_2 (SEQ ID NO: 19) (100 mg, 0.167 mmol) and pivaloyl chloride (41.0 μL, 0.334 mmol) according to the procedure of Examples 2 and 5. Yield: 65.7 mg (57.7%). ^{1}H NMR (300 MHz, D_2O) δ 1.25 (s, 9H), 1.84-2.03 (m, 4H), 2.16 (s, 3H), 2.28 (m, 1H), 2.82 (m, 2H), 3.29 (m, 2H), 3.90 (m, 2H), 3.67 (m, 2H), 3.89 (m, 2H), 4.41 (m, 1H), 4.50 (m, 1H), 4.63 (m, 1H), 4.76 (m, 1H), 4.85 (m, 1H), 7.39 and 7.42 (s, s, 1H), 8.68-8.72 (m, 1H); ^{13}C NMR (75 MHz, D_2O) δ 21.5, 24.4, 26.2, 26.6, 29.3, 29.9, 36.4, 46.5, 48.8, 50.4, 52.2, 53.2, 55.5, 60.2, 61.2, 117.3, 128.6, 133.6, 171:2, 171.6, 171.6, 173.3, 174.5, 174.7, 174.7, 210.8; ES MS *m/z* $(M+H)^+$calcd. 682, obsd 682.

Please replace the paragraph on page 70, lines 12-22 with the following amended paragraph:

6.37 Example 37: Ac-PHSC(cyclohexanoyl)N-NH_2 (SEQ ID NO: 23)

This compound was prepared from Ac-PHSCN-NH_2 (SEQ ID NO: 19) (100 mg, 0.167 mmol) and cyclohexanoyl chloride (45.0 μL, 0.334 mmol) according to method E-B. Yield: 70.7 mg (59.8%). ^{1}H NMR (300 MHz, D_2O) δ 1.37 (m, 5H), 1.75-2.04 (m, 9H), 2.16 (s, 3H), 2.31 (m, 1H), 2.66 (m, 1H), 2.84 (m, 2H), 3.25-3.32 (m, 2H), 3.37-3.43 (m, 2H), 3.68 (m, 2H), 3.90 (m, 2H), 4.41 (m, 1H), 4.49 (m, 1H), 4.65 (m, 1H), 7.39 and 7.42 (s, s, 1H), 8.69-8.73 (m, 1H); ^{13}C NMR (75 MHz, D_2O) δ 21.5, 24.4, 25.0, 29.2, 29.4, 29.9, 36.4, 48.8, 50.4, 52.2, 52.4, 53.3, 55.5, 60.2, 61.1, 117.4, 128.6, 133.6, 171.2, 171.4, 171.6, 173.3, 174.5, 174.7, 207.3; ES MS *m/z* $(M+H)^+$ calcd 708, obsd 708. Anal. Calc for $C_{30}H_{45}N_9O_9S$: N, 17.81. Found: N, 14.14 (peptide content: 79.4%).

Please replace the paragraph on page 70, line 24 to page 71, line 2 with the following amended paragraph:

6.38 Example 38: Ac-PHSC(nicotinoyl)N-NH_2 (SEQ ID NO: 24)

This compound was prepared from Ac-PHSCN-NH_2 (SEQ ID NO: 19) (100 mg, 0.167 mmol) and nicotinoyl chloride (59 mg, 0.334 mmol) according to the method of Examples 2 and 5. Yield: 49.5 mg (42.0%). ^{1}H NMR (300 MHz, D_2O/MeOD) δ 1.80-2.01 (m, 4H), 2.13 (s, 3H),

2.28 (m, 1H), 2.81 (m, 2H), 3.23 (m, 1H), 3.33 (m, 1H), 3.64 (m, 3H), 3.78 (dd, J = 15 Hz, J = 6 Hz, 1H), 3.89 (m, 2H), 4.39 (m, 1H), 4.48 (m, 1H), 4.74 (m, 1H), 7.36 and 7.39 (s, s, 1H), 8.27 (t, J = 6 Hz, 1H), 8.66 and 8.70 (s, s, 1H), 9.07 (m, 2H), 9.36 (s, 1H); ^{13}C NMR (75 MHz, D_2O) δ 21.0,24.4, 26.0, 29.9, 30.1, 36.4, 48.8, 50.5, 52.2, 52.8, 55.6, 60.3, 61.2, 117.3, 127.9, 128.7, 133.6, 135.0, 140.9, 144.7, 145.2, 170.8, 171.7, 171.7, 173.4, 174.5, 174.6, 174.7, 188.9; ES MS *m/z* $(M+H)^+$ calcd 703, obsd 703. Anal. Calc for $C_{29}H_{38}N_{10}O_9S$: N, 19.93. Found: N, 13.95 (peptide content: 70.0%).

Please replace the paragraph on page 71, lines 4-15 with the following amended paragraph:

6.39 Example 39: Ac-PHSC(thiophene-2-carbonyl)N-NH_2 (SEQ ID NO: 25)

This compound was prepared from Ac-PHSCN-NH_2 (SEQ ID NO: 19) (100 mg, 0.167 mmol) and 2-thiophenecarbonyl chloride (36.0 μL, 0.334 mmol) according to the procedure of Examples 2 and 5. Yield: 35.6 mg (30.1%). ^{1}H NMR (300 MHz, D_2O) δ 1.83-2.04 (m, 4H), 2.14 (s, 3H), 2.28 (m, 1H), 2.83, (m, 2H), 3.13-3.31 (m, 2H), 3.52 (m, 1H), 3.68 (m, 3H), 3.90 (m, 2H), 4.39 (m, 1H), 4.51 (m, 1H), 7.24 (t, J = 4.2 Hz, 1H), 7.32 and 7.33 (s, s, 1H), 7.92 (d, J = 4.5 Hz, 1H), 7.98 (d, J = 3.0 Hz), 8.64 and 8.67 (s, br s, 1H); ^{13}C NMR (75 MHz, D_2O) δ 21.3, 24.2, 26.1, 29.7, 29.8, 36.2, 48.6, 50.2, 52.0, 53.3, 55.5, 60.0, 60.9, 117.2, 128.4, 128.7, 133.1, 133.4, 135.0, 140.1, 171.0, 171.5, 171.7, 173.1, 174.4, 174.5 (2C), 185.9; ES MS *m/z* $(M+H)^+$ calcd 708, obsd 708. Anal. Calc for $C_{28}H_{37}N_9O_9S_2$: N, 17.81. Found: N, 13.66 (peptide content: 76.6%).

Please replace the paragraph on page 71, lines 17-27 with the following amended paragraph:

6.40 Example 40: Ac-PHSC(allyl)N-NH_2 (SEQ ID NO: 26)

This compound was prepared from Ac-PHSCN-NH_2 (SEQ ID NO: 19) (25 mg, 0.042 mmol) and allyl bromide (3.6 μL, 0.042 mmol) according to the procedure of Examples 2 and 6, except that the product was prep purified two times. Yield: 11.9 mg (44.5%). ^{1}H NMR (300 MHz, D_2O) δ 1.83-2.02 (m, 4H), 2.15 (s, 3H), 2.74-3.00 (m, 4H), 3.23-3.36 (m, 4H), 3.66 (m, 2H), 3.90 (m, 2H), 4.39 (m, 1H), 4.54 (m, 1H), 4.59 (m, 1H), 5.20 (m, 2H), 5.87 (m, 1H), 7.36 and 7.40 (s, s, 1H), 8.66-8.70 (m, 1H); ^{13}C NMR (75 MHz, D_2O/MeOD) δ 22.5, 25.4, 27.2, 30.9, 32.3, 35.3, 37.3, 49.8, 51.4, 53.3, 54.3, 56.5, 61.2, 62.2, 118.4, 119.1, 129.7, 134.6, 134.7, 172.6 (2C), 172.7, 172.8, 174.2, 175.5, 175.7; ES MS *m/z* $(M+H)^+$ calcd 638, obsd 638. Anal. Calc for $C_{26}H_{39}N_9O_8S$: N, 19.77. Found: N, 14.5 (peptide content: 73.3%).

Please replace the paragraph on page 71, line 29 to page 72, line 6 with the following amended paragraph:

6.41 Example 41: Ac-PHSC(methoxyethane)N-NH_2 (SEQ ID NO: 27)

This compound was prepared from Ac-PHSCN-NH_2 (SEQ ID NO: 19) (50 mg, 0.083 mmol) and 2-bromoethyl methylether (8.0 μL, 0.083 mmol) according to the procedure of Examples 2 and 6. Yield: 22.4 mg (41.1%). ^{1}H NMR (300 MHz, D_2O) δ 1.82-2.03 (m, 4H), 2.14 (s, 3H), 2.25 (m, 1H), 2.78-3.35 (m, 8H), 3.39 (s, 3H), 3.66 (m, 2H), 3.90 (br m, 2H), 4.38 (m, 1H), 4.52 (m, 1H), 4.61 (m, 1H), 4.71 (m, 1H), 7.36 and 7.39 (s, s, 1H), 8.66 and 8.69 (s, s, 1H); ^{13}C NMR (75 MHz, D_2O) δ 22.5, 25.4, 27.2, 31.0, 32.3, 33.7, 37.4, 49.8, 51.4, 53.3, 54.5, 56.6, 59.0, 61.2, 62.2, 71.7, 118.4, 129.7, 134.6, 172.7 (2C), 172.9, 174.4, 175.6, 175.8, 175.9; ES MS *m/z* $(M+H)^+$ calcd 656, obsd 656. Anal. Calc for $C_{26}H_{41}N_9O_9S$: N, 19.22. Found: N, 13.83 (peptide content: 72.0%).

Please replace the paragraph on page 72, lines 8-18 with the following amended paragraph:

6.42 Example 42: Ac-PHSC(SMe)N-NH_2 (SEQ ID NO: 28)

This compound was-prepared from Ac-PHSCN-NH_2 (SEQ ID NO: 19) (25 mg, 0.042 mmol) and S-methyl methanethiosulfonate (4.3 μL, 0.042 mmol) according to the procedure of Examples 2 and 7. Yield: 13.8 mg (51.1%). ^{1}H NMR (300 MHz, D_2O) δ 1.84-2.03 (m, 4H), 2.15 (s, 3H), 2.27 (m, 1H), 2.46 (s, 3H), 2.79-2.86 (m, 2H), 3.07 (m, 1H), 3.24-3.36 (m, 3H), 3.67 (m, 2H), 3.92 (m, 2H), 4.41 (m, 1H), 4.54 (m, 1H), 7.36 and 7.39 (s, s, 1H), 8.67 and 8.70 (s, s, 1H); ^{13}C NMR (75 MHz, D_2O) δ 22.5, 23.1, 25.4, 27.2, 31.0, 37.4, 38.5, 49.8, 51.5, 53.3, 54.0, 56.5, 61.2, 62.2, 118.4, 129.7, 134.6, 172.6, 172.9 (2C), 174.3, 175.5, 175.7 (2C); ES MS *m/z* $(M+H)^+$ calcd 644, obsd 644. Anal. Calc for $C_{24}H_{37}N_9O_8S_2$: N, 19.58. Found: N, 14.41 (peptide content: 73.6%).

Please replace the paragraph on page 72, lines 20-29 with the following amended paragraph:

6.43 Example 43: Ac-PHSC(SPh)N-NH_2 (SEQ ID NO: 29)

This compound was prepared from Ac-PHSCN-NH_2 (SEQ ID NO: 19) (25 mg, 0.042 mmol) and *S*-phenyl benzenethiosulfonate (10.5 mg, 0.042 mmol) according to the procedure of Examples 2 and 7. Yield: 9.9 mg (33.4%) ~90% pure by ^{1}H NMR: ^{1}H NMR (300 MHz, D_2O) δ 1.83-2.04 (m, 4H), 2.15 (s, 3H), 2.26 (m, 1H), 2.74-2.89 (m, 2H), 3.07-3.42 (m, 4H), 3.66 (m, 2H), 3.88 (m, 2H), 4.39 (m, 1H), 4.41 (m, 1H), 4.73 (m, 1H), 7.35-7.45 (m, 4H), 7.63 (s, 1H),

7.66 (s, 1H), 8.64 and 8.67 (s, s, 1H); ^{13}C NMR (75 MHz, D_2O) δ 22.5, 25.5, 27.3, 31.0, 37.4, 39.8, 49.8, 51.5, 53.3, 54.1, 56.3, 61.2, 62.3, 62.4, 118.4, 129.0,129.6 (2C), 129.7, 130.6 (2C), 134.6, 137.2, 172.5, 172.61, 172.66, 174.4, 175.6, 175.7, 175.8; ES MS *m/z* $(M+H)^+$ calcd 708, obsd 708.

Please replace the paragraph on page 72, line 31 to page 73, line 8 with the following amended paragraph:

6.44 Example 44: Ac-PHSC(SCH$_2$-(*R*)-CH(NH$_2$)CO$_2$H)N-NH$_2$ (SEQ ID NO: 30)

This compound was prepared from Ac-PHSCN-NH_2 (SEQ ID NO: 19) (50 mg, 0.083 mmol) and cysteine methylthiosulfonate (Toronto Research Chemicals) (16.6 mg, 0.083 mmol) according to the procedure of Examples 2 and 7. Yield: 37.2 mg (62.6%). $_1H$ NMR (300 MHz, D_2O) δ 1.83-2.01 (m, 4H), 2.14 (s, 3H), 2.25 (m, 1H), 2.78-3.35 (m, 8H), 3.65 (m, 2H), 3.91 (m, 2H), 4.38 (m, 1H), 4.48 (m, 1H), 4.52 (m, 1H), 4.73 (m, 1H), 7.35 and 7.38 (s, s, 1H), 8.66 and 8.69 (s, s, 1H); ^{13}C NMR (75 MHz, D_2O) δ 22.5, 25.4, 27.1, 31.0, 37.4, 38.1, 38.9, 49.8, 51.5, 53.0, 53.3, 53.8, 56.6, 61.3, 62.2, 118.4, 129.7, 134.6, 171.7, 172.6, 172.8, 172 .9, 174.5, 175.5, 175.7, 175.8; ES MS *m/z* $(M+H)^+$ calcd 717, obsd 717. Anal. Calc for $C_{26}H_{40}N_{10}O_{10}S_2$: N, 19.54. Found: N, 11.89 (peptide content: 60.9%).

Please replace the paragraph on page 73, lines 10-21 with the following amended paragraph:

6.45 Example 45: Ac-PHSHoC(Bz)N-NH$_2$ (SEQ ID NO: 31)

This compound was prepared from crude Ac-PHSHoCN-NH_2 (SEQ ID NO: 32) (50 mg, 0.082 mmol) and benzoyl chloride (19.0 μL, 0.163 mmol) according to the procedure of Examples 2 and 5. Yield: 9.8 mg (16.7%). ^1H NMR (300 MHz, D_2O) δ 1.83-2.00 (m, 4H), 2.14 and 2.15 (s, s, 3H), 2.26 (m, 2H), 2.77-2.88 (m, 2H), 3.14-3.31 (m, 4H), 3.64 (m, 2H), 3.93 (m, 2H), 4.38 (m, 1H), 4.53 (m, 2H), 7.31-7.35 (s, 1H), 7.58 (m, 2H), 7.72 (m, 1H), 7.99 (m, 2H), 8.61-8.65 (s, 1H); ^{13}C NMR (75 MHz, D_2O) δ 22.6, 22.7, 26.2 (2C), 27.2, 27.3, 31.1, 31.6, 31.8, 37.6 (2C), 50.0 (2C), 51.5, 51.6, 53.4, 54.1, 54.5, 56.8, 57.0, 61.4 (2C), 62.3, 62.4, 118.4, 128.3, 129.7, 129.8, 130.2, 134.7, 135.6 (2C), 137.6 (2C), 172.8, 172.9, 173.0, 173.1, 174.0, 174.2, 174.5, 174.55, 175.6, 175.7, 175.9, 176.0, 176.2; ES MS *m/z* $(M+H)^+$ calcd 716, obsd 716. Anal. Calc for $C_{31}H_{41}N_9O_9S$: N, 17.61. Found: N, 11.81 (peptide content: 67.1%).

Please replace the paragraph on page 73, lines 23-33 with the following amended paragraph:

6.46 Example 46: Ac-PHSHoC(Piv)N-NH_2 (SEQ ID NO: 33)

This compound was prepared from crude Ac-PHSHoCN-NH_2 (SEQ ID NO: 32) (SAH-15) (50 mg, 0.082 mmol) and pivaloyl chloride (20.0 µL, 0.163 mmol) according to the procedure of Examples 2 and 5. Yield: 12.5 mg (22.2%). $_1$H NMR (300 MHz, D_2O) δ 1.25 (s, 9H), 1.83-2.09 (m, 4H), 2.15 (s, 3H), 2.30 (m, 1H), 2.78-2.95 (m, 4H), 3.31 (m, 2H), 3.64 (m, 2H), 3.91 (m, 2H), 4.40-4.52 (m, 3H), 7.66m (m, 1H), 8.66 (m, 1H); ^{13}C NMR (75 MHz, D_2O) δ 22.6, 25.5, 25.55, 27.2, 27.7, 31.0, 31.6, 31.7, 37.4, 37.5, 47.5, 49.9, 51.42, 51.47, 53.3, 54.0, 54.2, 56.6, 56.8, 61.3, 62.25, 62.26, 118.4, 129.7, 134.6, 172.7, 172.9, 173.9, 174.2, 174.4, 175.5, 175.6, 175.85, 175.89, 176.1, 212.8; ES MS *m/z* $(M+H)^+$calcd 696, obsd 696. Anal. Calc for $C_{29}H_{45}N_9O_9S$: N, 18.12. Found: N, 13.77 (peptide content: 76.0%).

Please replace the paragraph on page 74, lines 1-12 with the following amended paragraph:

6.47 Example 47: Ac-PHSHoC(thiophene-2-carbonyl)N-NH_2 (SEQ ID NO: 34)

This compound was prepared from crude Ac-PHSHoCN-NH_2 (SEQ ID NO: 32) (SAH-15) (50 mg, 0.082 mmol) and 2-thiophenecarbonyl chloride (17.5 µL, 0.163 mmol) according to the procedure of Examples 2 and 5. Yield: 11.4 mg (19.5%). ^{1}H NMR (300 MHz, D_2O) δ 1.84-2.01 (m, 4H), 2.15 and 2.16 (s, s, 3H), 2.12-2.30 (m, 3H), 2.78-2.89 (m, 2H), 3.20 (m, 3H), 3.66 (m, 2H), 3.93 (m, 2H), 4.56 (m, 1H), 4.79 (m, 2H), 7.27 (m, 1H), 7.34-7.37 (m, 1H), 7.92 (m, 1H), 7.97 (m, 1H), 8.64-8.68 (m, 1H); ^{13}C NMR (75 MHz, D_2O/MeOD) δ 22.5, 25.4, 26.2, 27.1, 27.2, 30.9, 31.7, 31.8, 37.4, 37.5, 49.8, 51.3, 51.4, 53.3, 53.9, 54.2, 54.3, 56.6, 56.9, 61.2, 62.1, 62.2, 64.9, 118.3, 129.7, 129.7, 133.7, 134.5, 135.6, 141.8, 172.6, 172.7, 172.9, 172.94, 173.7, 173.9, 174.2, 175.4, 175.5, 175.6, 175.7, 176.0, 187.9; ES MS *m/z* $(M+H)^+$ calcd 722, obsd 722. Anal. Calc for $C_{29}H_{39}N_9O_9S_2$: N, 17.46. Found: N, 13.54 (peptide content: 77.6%).

Please replace the paragraph on page 74, lines 14-24 with the following amended paragraph:

6.48 Example 48: Ac-PHSHoC(methoxyethane)N-NH_2 (SEQ ID NO: 35)

This compound was prepared from crude AC-PHSHoCN-NH_2 (SEQ ID NO: 32) (100 mg, 0.163 mmol) and 2-bromoethyl methylether (15.5 µL, 0.163 mmol) according to the procedure of Examples 2 and 6. Yield: 53.3 mg (48.8%). ^{1}H NMR (300 MHz, D_2O) δ 1.83-2.29 (m, 7H), 2.14 (s, 3H), 2.71-2.88 (m, 6H), 3.23-3.39 (m, 2H), 3.39 (s, 3H), 3.65 (m, 4H), 3.88 (m, 2H), 4.39 (m, 1H), 4.49 (m, 1H), 7.33-7.37 (m, 1H), 8.64-8.69 (m, 1H); ^{13}C NMR (75 MHz, D_2O) δ

22.5, 25.4, 27.2, 28.5, 31.0, 31.6, 37.4, 37.5, 49.9, 51.4, 53.3, 53.4, 56.7, 58.9, 61.3, 62.2, 62.3, 71.9, 118.4, 129.6, 129.7, 134.6, 172.7, 172.8, 172.9, 173.0, 174.2, 174.4 (2C), 175.5, 175.6, 175.8, 175.87, 176.1, 176.2; ES MS *m/z* $(M+H)^+$ calcd 670, obsd 670. Anal. Calc for $C_{27}H_{43}N_9O_9S$: N, 18.82. Found: N, 14.64 (peptide content: 77.8%).

Please replace the paragraph on page 74, line 26 to page 75, line 6 with the following amended paragraph:

6.49 Example 49: Ac-PHSHoC(Bn)N-NH_2 (SEQ ID NO: 36)

This compound was prepared from crude Ac-PHSHoCN-NH_2 (SEQ ID NO: 32) (SAH-15) (76.2 mg, 0.124 mmol) and benzyl bromide (14.8 μL, 0.124 mmol) according to the procedure of Examples 2 and 6, except that the reaction mixture was cooled in an ice water bath prior to addition of the BnBr. Yield: 29.4 mg (33.7%). ^{1}H NMR (300 MHz, D_2O) δ 1.84-2.07 (m, 5H), 2.15 (s, 3H), 2.29 (m, 1H), 2.54-2.90 (m, 4H), 3.23-3.34 (m, 2H), 3.66 (m, 2H), 3.84-3.91 (m, 4H), 4.38-4.48 (m, 3H), 4.73 (m, 1H), 7.34-7.45 (m, 6H), 8.64-8.66 (m, 1H); ^{13}C NMR (75 MHz, D_20) δ 22.6, 25.4, 27.2, 27.9 (2C), 31.0, 31.3, 36.33, 36.36, 37.4, 37.5, 49.8, 51.3, 51.4, 53.3 (2C), 54.0, 54.3, 56.6, 56.7, 61.3, 62.2, 62.3, 118.4, 128.4, 129.6 (2C), 130.00, 130.08, 134.6, 139.6, 172.7, 172.8, 172.9, 174.1, 174.3, 174.4 (2C), 175.5, 175.6, 175.8 (3C), 176.1; ES MS *m/z* $(M+H)^+$ calcd 702, obsd 702. Anal. Calc for $C_{31}H_{43}N_9O_8S$: N, 17.96. Found: N, 13.07 (peptide content: 72.2%).

Please replace the paragraph on page 75, lines 8-18 with the following amended paragraph:

6.50 Example 50: Ac-PHSHoC(SMe)N-NH_2 (SEQ ID NO: 37)

This compound was prepared from crude Ac-PHSHoCN-NH_2 (SEQ ID NO: 32) (50 mg, 0.081 mmol) and S-methyl methanethiosulfonate (8.4 μL, 0.081 mmol) according to the procedure of Examples 2 and 7. Yield: 24.6 mg (46.2%). ^{1}H NMR (300 MHz, D_2O) δ 1.84-2.33 (m, 7H), 2.15 (s, 3H), 2.46 (s, 3H), 2.72-2.95 (m, 4H), 3.19-3.41 (m, 2H), 3.67 (m, 2H), 3.91 (m, 2H), 4.39 (m, 1H), 4.48-4.58 (m, 2H), 4.73 (m, 1H), 7.35-7.39 (m, 1H), 8.66-8.70 (m, 1H); ^{13}C NMR (75 MHz, D_2O) δ 22.6, 23.3, 25.5, 27.2, 31.0, 31.1, 33.9, 34.0, 37.4, 37.5, 49.9, 51.42, 51.47, 53.3, 53.4, 53.7, 24.0, 56.71, 56.78, 61.3, 62.1, 62.2, 118.4, 129.6, 134.6, 172.7, 172.8, 172.9, 173.0, 174.1, 174.4, 175.5, 175.6, 175.83, 175.88, 176.2; ES MS *m/z* $(M+H)^+$ calcd 658, obsd 658. Anal. Calc for $C_{25}H_{39}N_9O_8S_2$: N, 19.16. Found: N, 14.48 (peptide content: 75.6%).

Please replace the paragraph on page 75, lines 20-31 with the following amended paragraph:

6.51 Example 51: Ac-PHSHoC(SPh)N-NH_2 (SEQ ID NO: 38)

This compound was prepared from crude Ac-PHSHoCN-NH_2 (SEQ ID NO: 32) (SAH-15) (50 mg, 0.081 mmol) and *S*-phenyl benzenethiosulfonate (20.5 mg, 0.081 mmol) according to the procedure of Examples 2 and 7. Yield: 20.8 mg (35.6%). ^{1}H NMR (300 MHz, D_2O) δ 1.83-2.31 (m, 7H), 2.14 (s, 3H), 2.66-2.93 (m, 4H), 3.15-3.35 (m, 2H), 3.65 (m, 2H), 3.85 (m, 2H), 4.36-4.52 (m, 3H), 7.32-7.47 (m, 4H), 7.62 (m, 1H), 8.64 and 8.67 (s, s, 1H); ^{13}C NMR (75 MHz, D_2O) δ 22.6, 25.5, 27.2, 30.9, 31.0, 35.1, 35.3, 37.4, 37.5, 49.8, 51.3, 51.4, 53.3, 53.6, 53.9, 56.6, 56.8, 61.3, 62.23, 62.27, 118.3, 128.7, 129.33, 129.39, 129.6, 130.6, 130.61, 134.6, 137.7, 137.8, 172.7 (2C), 172.8, 173.9, 174.1, 174.42, 174.44, 175.5, 175.6, 175.7, 175.85, 175.88, 176.1; ES MS *m/z* $(M+H)^+$ calcd 720, obsd 720. Anal. Calc for $C_{30}H_{41}N_9O_8S_2$: N, 17.51. Found: N, 12.93 (peptide content: 73.9%).

Please replace the paragraph on page 76, lines 15-26 with the following amended paragraph:

6.53 Example 53: Ac-PHSA(β-SO_2Bn)N-NH_2 (SEQ ID NO: 39)

This compound was prepared from 200 mg (0.63 mmol/g) Fmoc-Asn(trt)-Rink, according to the procedure of Examples 2 and 9, except that it was prep purified twice. Fmoc-Ala(β-SO_2Bn)-OH (SAH-13) was coupled directly to the Asn. Yield: 22.9 mg (25.2%). ^{1}H NMR (300 MHz, D_2O) δ 1.83-2.01 (m, 3H), 2.15 (s, 1H), 2.27 (m, 1H), 2.79-2.90 (m, 2H), 3.19-3.37 (m, 2H), 3.63-3.75 (m, 3H), 3.89-3.96 (m, 3H), 4.39 (m, 1H), 4.52 (m, 1H), 4.66 (s, 2H), 5.10 (m, 1H), 7.34 and 7.37 (s, s, 1H), 7.51 (br s, 5H), 8.62-8.66 (m, 1H); ^{13}C NMR (75 MHz, D_2O) δ 22.7, 25.5, 27.3, 31.1, 37.4, 49.3, 50.0, 51.8, 52.7, 53.3, 56.7, 60.9, 61.4, 62.2, 118.5, 127.5, 129.7, 130.4, 130.7, 132.5, 134.7, 170.9, 172.7, 172.8, 173.0, 174.5, 175.7, 175.9; ES MS *m/z* $(M+H)^+$ calcd 721, obsd 721. Anal. Calc for $C_{30}H_{41}N_9O_{10}S$: N, 19.17. Found: N, 12.70 (peptide content: 66.3%).

Please replace the paragraph on page 76, line 28 to page 77, line 2 with the following amended paragraph:

6.54 Example 54: Ac-PHSHoCN-NH_2 (SEQ ID NO: 32)

This compound was prepared from 2.0 g (0.63 mmol/g) Fmoc-Asn(trt)-Rink, according to the procedure of Examples 2 and 9, to afford 764.5 mg (79.3%) of crude material. Fmoc-HoC(trt)-OH (Chem-Impex) was coupled to the Asn. The peptide was >90% of a 1:1

mixture of peaks, separated by 0.1 min, by analytical HPLC. It is assumed that the two peaks are conformational isomers. The crude material was used in the analog syntheses. ES MS *m/z* $(M + H)^+$ calcd 612, obsd 612.

Please replace the paragraph on page 78, lines 1-11 with the following amended paragraph:

6.57 Example 57: Ac-PHSHoC(Ph)N-NH_2 (SEQ ID NO: 40)

This compound was prepared from Fmoc-Asn(trt)-Rink (215 mg, 0.63 mmol/g), according to procedure of Examples 2 and 9, where the compound of Example 55 was coupled to Asn. Yield: 29.4 mg (33.7%). ^{1}H NMR (300 MHz, D_2O) δ 1.83-2.32 (m, 6H), 2.14 (s, 3H), 2.71-2.90 (m, 2H), 3.02-3.37 (m, 4H), 3.65 (m, 2H), 3.90 (m, 2H), 4.36 (m, 1H), 4.48 (m, 1H), 4.61 (m, 1H), 4.73 (m, 1H), 7.29-7.48 (m, 6H), 8.64-8.67 (m, 1H); ^{13}C NMR (75 MHz, D_2O) δ 22.6, 25.4, 27.2, 30.2, 31.0, 31.3, 37.4, 49.8, 51.4, 53.4, 53.9, 56.6, 61.3, 62.1, 118.3, 127.9, 129.6, 130.5, 130.59, 134.6, 135:7, 172:7, 172.9, 174.0, 174.4, 175.6, 175:8; ES MS m/*z* $(M+H)^+$ calcd 688, obsd 688. Anal. Calc for $C_{30}H_{41}N_9O_8S$: N, 18.33. Found: N, 13.30 (peptide content: 72.5%).

Please replace the paragraph on page 78, lines 13-24 with the following amended paragraph:

6.58 Example 58: Ac-Pro-His-Ser-Cys(β,β-dimethyl)-Asn-NH_2 (SEQ ID NO: 1)

This compound was prepared from Rink amide AM resin (0.550 mg, loading of 0.74 mmol/g, 0.407 mmol) according to procedures of Examples 1 and 2, but using the following number of equivalents in the double couplings: 2 equivalents of amino acid, 2 equivalents of HBTU, 2 equivalents of HOBt and 4 equivalents of NMM. PLG-94 (108 mg, 43%) was isolated as a white, fluffy solid, and as a mixture of two compounds in a ratio of 84:16: ^{1}H NMR (300 MHz, DMSO-d6) δ 8.98-8.96 (m, 1H), 8.46-8.19 (m, 2H), 8.14-7.93 (m, 2H), 7.39-7.34 (m, 2H), 7.07 (s, 2H), 6.92 (s, 1H), 4.78-4.25 (m, 4H), 3.23-3.12 (m, 1H), 3.05-2.93 (m, 2H), 2.58-2.38 (m, 2H, overlapping with DMSO peak), 2.07-1.97 (m, 3H), 1.90-1.62 (m, 3H), 1.37 (s, 3H), 1.32 (s, 3H); ES MS *m/z* $(M+H)^+$ 626.6; Anal. calcd for $C_{25}H_{39}N_9O_8S$: N, 20.15. Found: 14.84 (peptide content, 74%).

Please replace the table on page 79, lines 1-14 with the following amended table:

Compound	**% Inhibition (± StdDev).**
PHSSN (SEQ ID NO: 41)	20.8 ± 34.1
PHSSN (SEQ ID NO: 41)	47.5 ± 13.6
15	71.7 ± 41.9
6	74.9 ± 5.8
3	25.3 ± 8.6
4	75.8 ± 38.3
16	72.0 ± 31.9
13	81.0 ± 50.0
14	73.3 ± 34.5
7	56.6 ± 22.4
1	88.2 ± 42.9

Please replace the paragraph on page 79, line 15 to page 80, line 5 with the following amended paragraph:

6.60 Example 60: Localization of Ac-PFSCNGGK(biotin)-NH_2 (SEQ ID NO: 42)

Mice were inoculated with 1 x 10^6 Lewis Lung carcinoma (3LL) cells in Matrigel™, two per mouse. After 5 days, the mice were injected via the tail vein with either 50 μg of Ac-PFSCNGGK(biotin)-NH_2 (SEQ ID NO: 42)or PFSCN. (SEQ ID NO: 43). After two hours to clear non-bound peptide, the animals were sacrificed and the plugs and different organs were removed and placed in zinc fixative for 24 hours and 4 mm paraffin-embedded sections prepared. In some experiments, the animals were sacrificed after fours post-injection. Slides were deparaffinized in xylene, rehydrated and blocked in 1% BSA for 30 minutes. The slides were then incubated with a rat monoclonal antibody against CD31 (BD Biosciences) at a 1:50 dilution in PBS and an anti-biotin mouse monoclonal Cy3 conjugated antibody (Sigma) at a 1:500 dilution. In other experiments, anti-biotin goat polyclonal FITC conjugated antibody (Sigma) was used. The samples were incubated with a secondary antibody: anti-rat IgG FITC conjugated antibody (BD Biosciences) at 1:500 dilution in PBS and DAPI (300 nM) for 2 hours. Ac-PFSCNGGK(biotin)-NH_2 (SEQ ID NO: 42) at 40 μM in PBS was incubated with a 1:500 dilution of an anti-biotin goat polyclonal FITC conjugated antibody (Sigma) for 30 minutes on ice. The mixture is added to B16 melanoma cells that had previously been plated on cover slips in a 6-well plate and incubate on ice for four hours. The cells were fixed and observed under the

microscope, which demonstrated that Ac-PFSCNGGK(biotin)-NH_2 (SEQ ID NO: 42) localized to CD31 positive neo-vessels within the tumor and not with other cells and also showed that Ac-PFSCNGGK(biotin)-NH_2 (SEQ ID NO:42) remains associated to tumor endothelium four hours after injection.

It is respectfully requested that the Sequence Listing submitted herewith be inserted into the specification after the drawings.